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Page 1 of 13

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L12 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
AN
     2002:888735 CAPLUS Full-text
    137:369971
DN
     Preparation of substituted 4H-chromenes and analogs as activators of
     caspases and inducers of apoptosis and their uses against cancer and other
     disorders
     Cai, Sui Xiong; Zhang, Hong; Jiang, Songchun; Storer, Richard
ΙN
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Cytovia, Inc., USA
SO
    PCT Int. Appl., 139 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 2
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                                        APPLICATION NO.
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20001106

20020516

A1 20020516

OS GΙ US 2000-705840

US 2002-146138

WO 2002-US15399

MARPAT 137:369971

PA

The present invention is directed to substituted 4H-chromenes and analogs AB thereof (shown as I; e.g. 2-amino-3-cyano-7-hydroxy-4-(3-bromo-4,5dimethoxyphenyl)-4H-chromene). It also relates to the discovery that I are activators of caspases and inducers of apoptosis and, therefore, can be used to induce cell death in a variety of clin. conditions in which controlled growth and spread of abnormal cells occurs. In I: R1-R4 = H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, C1-10 alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, aminoalkyl, carboxyalkyl, nitro, amino, cyano, acylamido,

hydroxy, thiol, acyloxy, azido, alkoxy, carboxy, methylenedioxy, carbonylamido or alkylthio; or R1 and R2, or R2 and R3, or R3 and R4, taken together with the atoms to which they are attached form an aryl, heteroaryl, partially saturated carbocyclic or partially saturated heterocyclic group, wherein said group is optionally substituted. R5 is H or C1-10 alkyl; A is optionally substituted and is aryl, heteroaryl, saturated carbocyclic, partially saturated carbocyclic, saturated heterocyclic, partially saturated heterocyclic or arylalkyl; Y is CN, COR7, CO2R7 or CONRxRy, wherein R7, Rx and Ry = H, C1-10 alkyl, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl or aminoalkyl; or Rx and Ry are taken together with the N to which they are attached to form a heterocycle; and Z is NR8R9, NHCOR8, N(COR9)2, N(COR8)(COR9), N:CHOR8 or N:CHR8, wherein R8 and R9 = H, C1-4 alkyl or aryl, or R8 and R9 are combined together with the group attached to them to form a heterocycle. The EC50 values for >80 I against T-47D and ZR-75-1 human breast cancer cell lines are tabulated, e.g. 30 and 25 nM, resp., for 2-amino-3-cyano-4-(3-bromo-4,5-dimethoxyphenyl)-4H-indolo[7,6-b]pyran. Although the methods of preparation are not claimed, 81 example prepns. are included.

IT 70416-53-4, 5-Formylnicotinonitrile

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted 4H-chromenes and analogs as activators of caspases and inducers of apoptosis and their uses against cancer and other disorders)

RN 70416-53-4 CAPLUS

CN 3-Pyridinecarbonitrile, 5-formyl- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:220560 CAPLUS Full-text

DN 136:263098

TI Preparation of pyridinyl amides and imides for use as fungicides

IN Neubert, Timothy Donald; Piotrowski, David Walter; Walker, Michael Paul

PA E. I. Du Pont de Nemours & Co., USA

SO PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

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     WO 2001-US28971
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OS
     MARPAT 136:263098
GΙ
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AB Title compds. [ACRR1R2YWB; A is a substituted pyridinyl ring; B is a substituted pyridinyl ring; W is C:L, SOn; L = O, S, CXR4; R1 and R2 are each independently = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, each optionally substituted; Y = NR3; R3 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, C2-C6 alkylcarbonyl, C2-C6 alkoxycarbonyl, C2-C6 alkylaminocarbonyl, C3-C8 dialkylaminocarbonyl; R4 = C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, C3-C6 cycloalkyl, each optionally substituted; X = O, S; n = 1, 2; provided that when W is CO and R1, R2 and R3 are H; then B is other than 4-trifluoromethyl-3-pyridinyl, 2-chloro-4-pyridinyl and 2,6-dihalo-4-pyridinyl], N-oxides and agriculturally suitable salts are prepared and disclosed which are useful as fungicides. Also disclosed are compns. containing the compds. I and a method for controlling plant diseases caused by fungal plant pathogens that involves applying an effective amount of a compound I.

IT 134031-24-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridinyl amides and imides for use as fungicides)

RN 134031-24-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2,4-dichloro- (CA INDEX NAME)



- L12 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2001:85212 CAPLUS <u>Full-text</u>
- 134:340420 DN
- ΤI Unusual chemoselective addition of diisopropylzinc to 2,2'-bipyridine-5,5'dicarbonyl compounds in the 2-position and autoxidative reconversion with carbon-carbon bond cleavage
- Tanji, Shigehisa; Shibata, Takanori; Sato, Itaru; Soai, Kenso ΑU
- Department of Applied Chemistry, Faculty of Science, Science University of CS Tokyo, Kagurazaka, Shinjuku-ku, Tokyo, 162-8601, Japan
- Journal of the Chemical Society, Perkin Transactions 1 (2001), SO (3), 217-218CODEN: JCSPCE; ISSN: 1472-7781
- Royal Society of Chemistry PΒ
- DT Journal
- LA English
- OS CASREACT 134:340420

GΙ

- AΒ Unusual chemoselective addition of diisopropylzinc to the 2-position of bipyridinedicarbonyl compds. I (R, R1 = CHO, CHO; CO2Me, CO2Me; Ac, Ac; CONMe2, CONMe2; H, CHO; H, CO2Me; H, Ac; H, CONMe2) gave the adducts II with a quaternary carbon. Autoxidn. of II reconverts them into the initial compds. I with carbon-carbon bond cleavage.
- ΙT 338463-50-6P RL: SPN (Synthetic preparation); PREP (Preparation)

(chemoselective addition of diisopropylzinc to bipyridinedicarbonyl compds. and autoxidn./carbon-carbon bond cleavage of dihydropyridylisopropylpyridines)

- RN 338463-50-6 CAPLUS
- CN [2,2'-Bipyridine]-5-carboxaldehyde, 5'-(1-hydroxy-2-methylpropyl)- (CA INDEX NAME)

- RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L12 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 1999:723594 CAPLUS Full-text
- DN 132:58720
- TI Potent, Orally Active GPIIb/IIIa Antagonists Containing a Nipecotic Acid Subunit. Structure-Activity Studies Leading to the Discovery of RWJ-53308
- AU Hoekstra, William J.; Maryanoff, Bruce E.; Damiano, Bruce P.;
 Andrade-Gordon, Patricia; Cohen, Judith H.; Costanzo, Michael J.;
 Haertlein, Barbara J.; Hecker, Leonard R.; Hulshizer, Becky L.; Kauffman,
 Jack A.; Keane, Patricia; McComsey, David F.; Mitchell, John A.; Scott,
 Lorraine; Shah, Rekha D.; Yabut, Stephen C.
- CS Drug Discovery and New Product Research, The R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
- SO Journal of Medicinal Chemistry (1999), 42(25), 5254-5265 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 132:58720
- Although i.v. administered antiplatelet fibrinogen receptor (GPIIb/IIIa) AB antagonists have become established in the acute-care clin. setting for the prevention of thrombosis, orally administered drugs for chronic use are still under development. Herein, the authors present details from the authors exploration of structure-activity surrounding the prototype fibrinogen receptor antagonist RWJ-50042, which was derived from a unique approach involving the γ -chain of fibrinogen (Hoekstra et al. J. Med. Chemical 1995, 38, 1582). The authors analog studies culminated in the discovery of RWJ-53308 (I), a potent, orally active GPIIb/IIIa antagonist. To progress from RWJ-50042 to a suitable candidate for clin. development, the authors conducted a series of optimization cycles that employed solid-phase parallel synthesis for the rapid, efficient preparation of nearly 250 analogs, which were assayed for fibrinogen receptor affinity and inhibition of platelet aggregation induced by four different activators. This strategy produced several promising analogs for advanced study, including the 3-(3,4-methylenedioxybenzene)- β amino acid analog (significant improved in vivo potency) and the 3-(3pyridyl)- β -amino acid I (significantly improved potency, oral absorption, and duration of action). In dogs, I displayed significant ex vivo antiplatelet activity on oral administration at 1.0 mg/kg, 16% systemic oral bioavailability, minimal metabolic transformation, and an excellent safety profile. Addnl., I was efficacious in three in vivo thrombosis models: canine arteriovenous (AV) shunt (0.01-0.1 mg/kg, iv), quinea pig photoactivationinduced injury (0.3-3 mg/kg, iv), and guinea pig ferric chloride-induced injury (0.3-1 mg/kg, iv). On the basis of its noteworthy preclin. data, I was selected for clin. evaluation.
- IT 252989-56-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (potent, orally active GPIIb/IIIa antagonists containing a nipecotic acid subunit and structure-activity studies leading to discovery of RWJ-53308 as antiplatelet agent for treatment of thrombosis)
- RN 252989-56-3 CAPLUS
- CN 3-Pyridinecarboxaldehyde, 5-(2-phenylethynyl)- (CA INDEX NAME)

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:609624 CAPLUS Full-text

DN 127:262666

OREF 127:51301a,51304a

TI Naphthyridine derivatives, their methods of preparation and pharmaceutical compositions containing them, useful especially as antiproliferative drugs

IN Bru-Magniez, Nicole; Launay, Michele; Teulon, Jean-Marie

PA Laboratoires UPSA, Fr.

SO U.S., 11 pp., Cont.-in-part of U.S. 5,364,860. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.				עדאור האידב			APPLICATION NO.						DATE					
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	US 1993-97239																		
	WO 1994-FR763					W		1994	0624										
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GT																			

AΒ The invention relates to title compds. I [X = H, halo; Y = O, S, NH; R, R] =H, cyano, CO2R'; CONH2, CONH(CH2)nC6H4R'', NO2, pyridyl, halopyridyl, thiazolyl, alkylthiazolyl; or RR1 form indolin-2-one; R2 = alkyl, cycloalkyl, (CH2)mC6H3Z1Z2; m, n = 0-5; R' = H, alkyl; R'' = H, halo, alkyl; Z1, Z2 = H,alkyl, halo, CF3, OH, alkoxy, alkylthio, NO2, NH2, cyano] and their addition salts. The compds. are useful as drugs having antiproliferative properties, affording an effective treatment for diseases such as cancer, psoriasis, atherosclerosis, restenosis phenomena, or any other pathol. condition due to cell proliferation. For instance, condensation of 1-(3,5-dichlorophenyl)-1,2dihydro-2-oxo-1,8-naphthyridine- 3-carboxaldehyde (preparation given) with 4pyridylacetonitrile-HCl in EtOH in the presence of NaOEt gave 40% title compound II, a preferred compound In an assay for inhibition of PDGFstimulated proliferation of balb c 3T3 fibroblasts in culture, II had an IC50 of 0.2 μM . Preliminary toxicol. studies showed good tolerance in rats at up to 300 mg/kg orally or i.p.

IT 195883-62-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of naphthyridine derivs. as antiproliferatives)

RN 195883-62-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 5-chloro-2-[(3,5-dichlorophenyl)amino]- (CA INDEX NAME)

L12 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1991:449586 CAPLUS Full-text

DN 115:49586

OREF 115:8612h,8613a

TI Lithiation of polychloropyrimidines and dichloropyridines

AU Radinov, R.; Chanev, Kh.; Khaimova, M.

CS Fac. Chem., Univ. Sofia, Sofia, 1126, Bulg.

SO Journal of Organic Chemistry (1991), 56(15), 4793-6 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

OS CASREACT 115:49586

AB Polychloropyrimidines and -pyridines bearing halogens at activated ring positions can be regioselectively metalated with (Me2CH)2NLi or BuLi in THF at -80°. Lithiated heterocycles react with electrophiles to give adducts in high yield. The unusual C-4 selectivity of lithiation of 2,6-dichloropyridine with BuLi was studied. Trapping of lithiated intermediates with PhCHO and subsequent oxidation afforded useful heterocyclic building blocks.

IT 134031-24-6P

RN 134031-24-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2,4-dichloro- (CA INDEX NAME)

L12 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1981:569014 CAPLUS Full-text

DN 95:169014

OREF 95:28249a,28252a

TI Pyridinyloxypropanolamines

IN Baldwin, John J.; Ponticello, Gerald S.

PA Merck and Co., Inc., USA

SO U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 866,961, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

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	US 4393212	A	19830712	US 1981-263335	19810513 <		
PRAI	US 1978-866961	A2	19780104				
	US 1979-7092	A3	19790129				
OS	CASREACT 95:169014						

GΙ

$$\operatorname{CH}_2)_n$$
 CN CN $\operatorname{OCH}_2\operatorname{CH}(\operatorname{OR}^1)\operatorname{CH}_2\operatorname{NHR}$

AB Pyridinyloxypropanolamines I (n = 1, 2; R = C3-4 branched alkyl; R1 = H, acyl) were prepared for use as β -sympatholytics and antihypertensives (no data). Thus, cyclopentylidenemalononitrile was treated with HC(OEt)3 and cyclized with HBr-HOAc to give 57% 2-bromo-3- cyanocyclopenta[c]pyridine which was treated with (S)-2-phenyl-3-tert- butyl-5-hydroxymethyloxazolidine to give 35% I (R = CMe3, R1 = H, n = 1).

IT 70416-53-4P

RN 70416-53-4 CAPLUS

CN 3-Pyridinecarbonitrile, 5-formyl- (CA INDEX NAME)

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L12 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
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AN 1979:474426 CAPLUS Full-text

DN 91:74426

OREF 91:12029a,12032a

TI Functionalization of 5-methyl-2-halonicotinic acid derivatives

AU Ponticello, Gerald S.; Baldwin, John J.

CS Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA

SO Journal of Organic Chemistry (1979), 44(15), 2702-4 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

AB Pyridines containing versatile functional groups in the 2, 3, and 5 positions were prepared via N-bromosuccinimide di- and tribrominations of the C-5 Me group of 2-halonicotinic acid derivs. Reductive dehalogenation of the 2-bromo substituent provides for a facile synthesis of unsym. pyridines in which the oxidation state of the C-3 and C-5 groups can be effectively controlled.

IT 70416-53-4P

RN 70416-53-4 CAPLUS

CN 3-Pyridinecarbonitrile, 5-formyl- (CA INDEX NAME)

L12 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1978:538018 CAPLUS Full-text

DN 89:138018

OREF 89:21249a,21252a

TI Moessbauer spectra of bidentate and monodentate carbonyl-substituted pyridine complexes of iron(II) dichlorides

AU Wei, Ho-Hsiang; Men, Lee-Chung

CS Dep. Chem., Tamkang Coll. Art Sci., Tamsui, Taiwan

SO Journal of Inorganic and Nuclear Chemistry (1978), 40(2), 221-4 CODEN: JINCAO; ISSN: 0022-1902

DT Journal

LA English

AB Thirteen complexes FeL2Cl2 (L = 2-, 3-, or 4-carbonyl-substituted pyridine) were prepared and characterized by Moessbauer and IR spectroscopy and magnetic moment measurements. FeL2Cl2 have distorted octahedral structures. The quadrupole splittings of the 2-substituted pyridine complexes are much larger than those of the 3- and 4-substituted pyridine complexes. The 2-substituted pyridines are bidentate whereas the 3- and 4-substituted pyridines are unidentate. The ground-state 3d orbital of the Fe in the complexes was shown to be dxy and the energy sepns. of the levels were estimated The effect of the substituent on the isomer shift of the complexes is discussed.

IT 65584-16-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and Moessbauer spectrum of)

RN 65584-16-9 CAPLUS

CN Iron, dichlorobis(3-pyridinecarboxaldehyde-N1)-, (T-4)-, homopolymer (9CI)

(CA INDEX NAME)

CM 1

CRN 65584-15-8

CMF C12 H10 C12 Fe N2 O2

CCI CCS

L12 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1978:82940 CAPLUS Full-text

DN 88:82940

OREF 88:12977a,12980a

TI Moessbauer spectra of bidentate and monodentate carbonyl-substituted pyridine complexes of iron(II) chlorides

AU Wei, Ho-Hsiang; Men, Lee-Chung

CS Dep. Chem., Tamkang Coll. Arts Sci., Tamsui, Taiwan

SO Proceedings of the National Science Council [Taiwan], Part 1, Natural and Mathematical Sciences (1977), 10, 161-73 CODEN: PNSSDV; ISSN: 0378-2727

DT Journal

LA English

AB FeL2C12, with pyridine derivs., RC5H4N(L; R = 2-, 3-, 4-MeCO, 2-, 3-, 4-PhCO, 2-, 3-, 4-H2NCO, 2- and 3-HO2C, 3- and 4-HCO), were prepared and characterized by chemical anal., Moessbauer and IR spectra, and magnetic measurements. The complexes have distorted octahedral structures. The magnitudes of the quadrupole splittings of the Moessbauer spectra for 2-substituted complexes are much larger than those of 3- or 4-substituted complexes since in the former the ligand is bidentate whereas in the latter it is monodentate. The ground state of 3d orbitals of Fe in the complexes was determined and the energy separation of t2g levels was estimated. The effect on the isomer shift of different R groups in position 2 is discussed.

IT 65584-16-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and Moessbauer spectrum of)

RN 65584-16-9 CAPLUS

CN Iron, dichlorobis(3-pyridinecarboxaldehyde-N1)-, (T-4)-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 65584-15-8

CMF C12 H10 C12 Fe N2 O2

CCI CCS

$$\mathsf{OHC} = \mathsf{N} - \mathsf{Fe} \frac{\mathsf{C1}}{\mathsf{C1}} - \mathsf{N}$$

L12 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1971:53445 CAPLUS Full-text

DN 74:53445

OREF 74:8605a,8608a

TI Pyridines. VII. Hydration of diformylpyridines and their N-oxides

AU Queguiner, Guy; Salaun-Bouix, Michele; Pastour, Paul

CS Lab. Chim. Org., Inst. Nat. Super. Chim. Ind. Rouen, Mont-Saint-Aignan, Fr.

SO Bulletin de la Societe Chimique de France (1970), (10), 3690-7 CODEN: BSCFAS; ISSN: 0037-8968

DT Journal

LA French

AB The hydration of diformylpyridines and their N-oxides by D2O was studied by NMR spectrometry. Thus, the 3,5-, 2,4-, 2,5-, and 2,6-diformylpyridine N-oxides gave 40, 50, 65, and 75% dihydrate. The 2,3- and 3,4-diformylpyridine N-oxides were completely hydrated as cyclic hydrates.

IT 31198-35-3P

RN 31198-35-3 CAPLUS

CN Nicotinaldehyde, 5-(dihydroxymethyl)- (8CI) (CA INDEX NAME)

=> log y

STN INTERNATIONAL LOGOFF AT 08:25:43 ON 06 JUL 2008